11328929

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LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 13	OCT 19	E-mail format enhanced
NEWS 14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 17	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 18	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 19	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 20	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 21	NOV 13	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 22	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 23	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 24	NOV 20	CA/CAplus patent kind codes will be updated
NEWS 25	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 26	DEC 11	CAS REGISTRY chemical nomenclature enhanced

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

```
 NEWS IPC8      For general information regarding STN implementation of IPC 8
 NEWS X25       X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:43:54 ON 13 DEC 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:44:24 ON 13 DEC 2006
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   12 DEC 2006  HIGHEST RN 915277-53-1
DICTIONARY FILE UPDATES:  12 DEC 2006  HIGHEST RN 915277-53-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

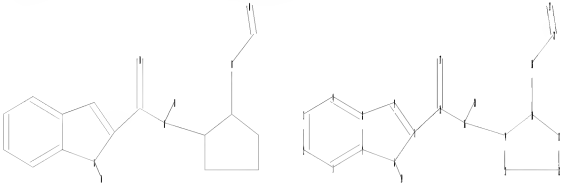
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html
```

=>
Uploading C:\Program Files\Stnexp\Queries\10567798.str



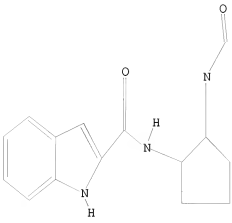
chain nodes :
15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
5-15 6-19 10-18 14-16 15-16 15-17 16-20 18-21 21-22
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-14 11-12 12-13 13-14

exact/norm bonds :
5-6 6-7 10-18 14-16 15-16 15-17 18-21 21-22
exact bonds :
5-9 5-15 6-19 8-9 10-11 10-14 11-12 12-13 13-14 16-20
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> S L1
SAMPLE SEARCH INITIATED 11:44:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       52 TO ITERATE

100.0% PROCESSED       52 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          608 TO     1472
PROJECTED ANSWERS:               2 TO      124

L2            2 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 11:44:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1167 TO ITERATE

100.0% PROCESSED     1167 ITERATIONS                               92 ANSWERS
SEARCH TIME: 00.00.01

L3           92 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10567798a.str
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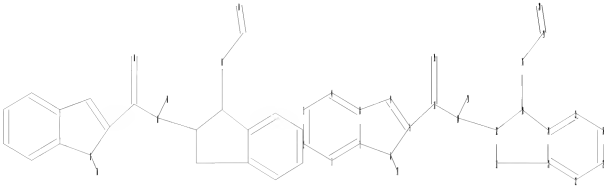
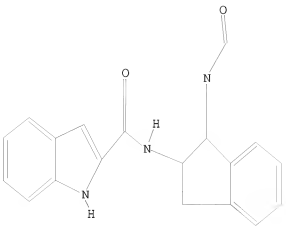


chain nodes :
13 14 15 16 17 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 22 23 24 25 26 27
chain bonds :
5-13 6-17 10-16 12-14 13-14 13-15 14-18 16-19 19-20
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-24 10-12 11-23 11-12 22-23
22-27 23-24 24-25 25-26 26-27
exact/norm bonds :
5-6 6-7 10-16 12-14 13-14 13-15 16-19 19-20
exact bonds :
5-9 5-13 6-17 8-9 10-24 10-12 11-23 11-12 14-18
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 22-23 22-27 23-24 24-25 25-26 26-27
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l4
SAMPLE SEARCH INITIATED 11:46:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED         5 ITERATIONS                                 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               4 TO      200

L5            4 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 11:46:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      107 TO ITERATE

100.0% PROCESSED       107 ITERATIONS                                67 ANSWERS
SEARCH TIME: 00.00.01

L6           67 SEA SSS FUL L4

=> FIL HOME
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    334.76     334.97

FILE 'HOME' ENTERED AT 11:46:44 ON 13 DEC 2006

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                      0.21     335.18
```

FILE 'HCAPLUS' ENTERED AT 11:46:59 ON 13 DEC 2006
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FILE COVERS 1907 - 13 Dec 2006 VOL 145 ISS 25
FILE LAST UPDATED: 12 Dec 2006 (20061212/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:43:54 ON 13 DEC 2006)

FILE 'REGISTRY' ENTERED AT 11:44:24 ON 13 DEC 2006
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 92 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 4 S L4
L6 67 S L4 SSS FULL

FILE 'HOME' ENTERED AT 11:46:44 ON 13 DEC 2006

FILE 'HCAPLUS' ENTERED AT 11:46:59 ON 13 DEC 2006

=> s l3
L7 9 L3

=> s l6
L8 3 L6

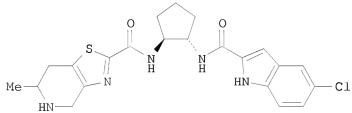
=> d l7 ibib abs hitstr tot

L7 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:78244 HCAPLUS
DOCUMENT NUMBER: 142:176829
TITLE: A preparation of diamine derivatives, useful as FXa inhibitors (anticoagulants)
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno,

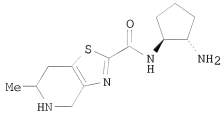
Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 276 pp., Cont.-in-part of U.S. Ser. No. 481,269.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005020645	A1	20050127	US 2004-773344		20040209
ZA 2003009866	A	20041220	ZA 2003-9866		20030130
US 2004134568	A1	20040715	US 2003-481269		20031219
ZA 2004000926	A	20050204	ZA 2004-926		20040204
PRIORITY APPLN. INFO.:			JP 2001-187105	A	20010620
			JP 2001-243046	A	20010809
			JP 2001-311808	A	20011009
			JP 2001-398708	A	20011228
			US 2003-481269	A2	20031219
			SE 2001-2233	A	20010621
			WO 2002-SE939	W	20020517

OTHER SOURCE(S): MARPAT 142:176829
GI



I



II

AB The invention relates to a preparation of diamine derivs. of formula Q1-Q2-T-N(R1)-Q3-N(R2)-T1-Q4 [wherein: R1 and R2 are independently selected from H, OH, alkyl, or alkoxy; Q1 is (un)saturated 5- or 6-membered cyclic hydrocarbon, 5- to 7-membered heterocyclic group, or (bi/tri)cyclic fused hydrocarbon, etc.; Q2 is a single bond or bivalent (hetero)cyclic

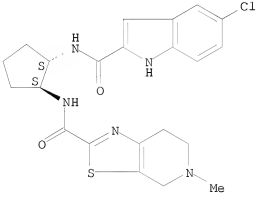
group; Q3 is a bivalent (hetero)cyclic group; Q4 is (hetero)aryl, arylalkynyl, or heteroalkenyl, etc.; T is C(O) or S(O); T1 is C(O), C(O)-C(O), SO2, or C(O)-C(O)-NH, etc.], useful as FXa inhibitors (anticoagulants). The invention compds. are useful as agents for preventing and/or treating cerebral infarction, cerebral embolism, myocardial infarction, angina pectoris, pulmonary infarction, pulmonary embolism, Buerger's disease, deep venous thrombosis, disseminated intravascular coagulation syndrome, and thrombus, etc. For instance, diamine derivative I (IC50 = 86 nM) was prepared via amidation of 5-chloroindole-2-carboxylic acid by thiazolopyridine derivative II.

IT 365993-88-0P 365994-28-1P 365994-29-2P
365994-32-7P 365994-36-1P 480447-05-0P
480447-06-1P 480447-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diamine derivs. useful as anticoagulants)

RN 365993-88-0 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

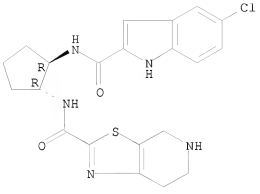


● HCl

RN 365994-28-1 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

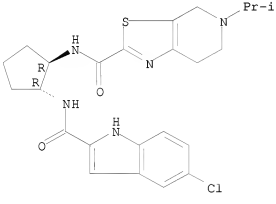
Relative stereochemistry.



● HCl

RN 365994-29-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

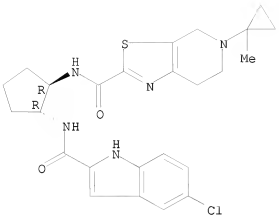
Relative stereochemistry.



● HCl

RN 365994-32-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylcyclopropyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

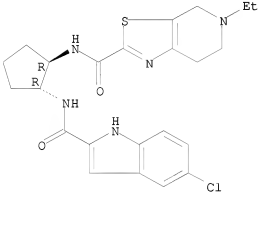
Relative stereochemistry.



● HCl

RN 365994-36-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-ethyl-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

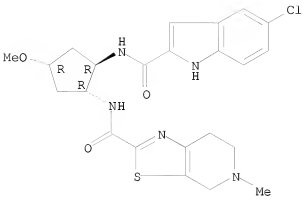
Relative stereochemistry.



● HCl

RN 480447-05-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

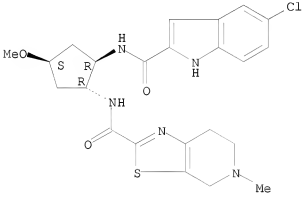
Relative stereochemistry.



● HCl

RN 480447-06-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

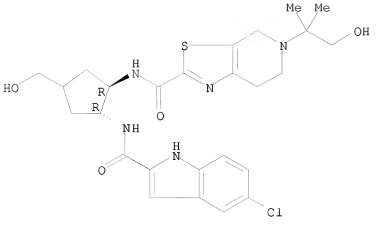
Relative stereochemistry.



● HCl

RN 480447-07-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

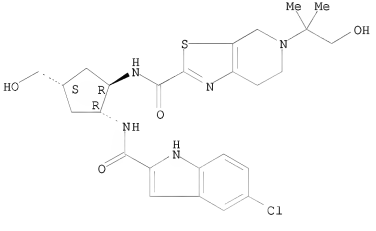
Relative stereochemistry.



● HCl

IT 365994-57-6P 365994-58-7P 365998-53-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diamine derivs. useful as anticoagulants)
RN 365994-57-6 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

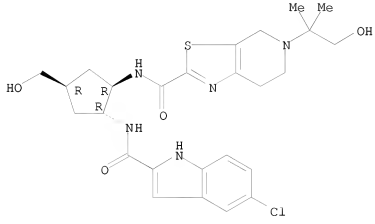


● HCl

RN 365994-58-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-

indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

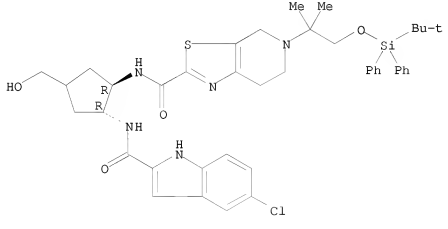
Relative stereochemistry.



● HCl

RN 365998-53-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

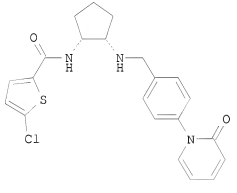


L7 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:802720 HCAPLUS
DOCUMENT NUMBER: 141:314159
TITLE: Preparation of lactam-containing cyclic diamines and

derivatives as factor Xa inhibitors for treating thromboembolic disorders
INVENTOR(S): Qiao, Jennifer X.; Wang, Tammy C.; Wang, Gren Z.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082687	A1	20040930	WO 2004-US8088	20040317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004204454	A1	20041014	US 2004-801469	20040316
EP 1603572	A1	20051214	EP 2004-757541	20040317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006520790	T2	20060914	JP 2006-507254	20040317
PRIORITY APPLN. INFO.:			US 2003-455733P	P 20030318
			US 2003-508232P	P 20031002
			US 2004-801469	A 20040316
			WO 2004-US8088	W 20040317

OTHER SOURCE(S): MARPAT 141:314159
GI



I

AB Title compds. of formula G-G1-M-Z-A-B [wherein M = central ring selected from (un)substituted optionally fused cyclopentane, or cyclohexane, (un)substituted tetrahydropyran, piperidine, piperidin-2-one, pyrrolidine,

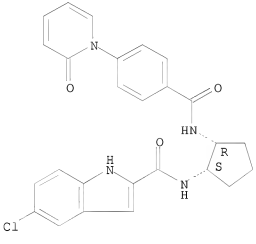
etc,; G = benzofused ring; G1 = (CH2)1-5 and derivs., (un)substituted CH2:CH2, C(:O), NH, NHCO SO2NH, SO2NHCO, all of the above optionally substituted on one or both ends with alkylene groups, etc., with provisos; Z = NHCO, CONH, Z = (CH2)1-5 and derivs., (un)substituted NHCO, CONH, CO, NHC(:S)NH, S, SO, SO2, SONH, SO2NH, all of the above optionally substituted on one or both ends with alkylene groups, etc.; A = (un)substituted carbo- or heeterocycle; B = lactam or sulfam bound to A ring through an optional linking group attached to the N, pharmaceutically acceptable salts] were prepared as inhibitors of trypsin-like serine proteases, specifically factor Xa, for treating thromboembolic disorders. For example, I was prepared by reductive amination of 4-(2-oxo-2H-pyridin-1-yl)benzaldehyde (preparation given) with (1R,2S)-5-Chlorothiophene-2-carboxylic acid (2-aminocyclopentyl)amide in CH2Cl2 in the presence of NaBH(OAc)3/AcOH. Selected invention compds. displayed Ki ≤ 10 μM in a spectrophotometrical assay using purified human factor Xa.

IT 766552-51-6P, (1S,2R)-5-Chloro-1H-indole-2-carboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide 766552-52-7P, (1R,2S)-5-Chloro-1H-indole-2-carboxylic acid [2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide 766552-98-1P, 6-Chloro-1H-indole-2-carboxylic acid [(1R,2S)-2-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]cyclopentyl]amide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of lactam-containing cyclic diamines and derivs. as factor Xa inhibitors for treating thromboembolic disorders)

RN 766552-51-6 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

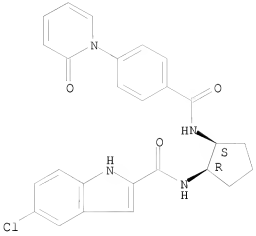
Absolute stereochemistry.



RN 766552-52-7 HCAPLUS

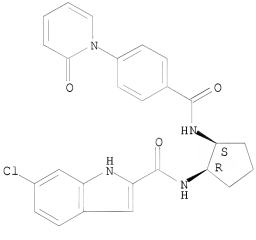
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 766552-98-1 HCAPLUS
CN 1H-Indole-2-carboxamide, 6-chloro-N-[(1R,2S)-2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:565212 HCAPLUS
DOCUMENT NUMBER: 141:106461
TITLE: Preparation of heterocyclyl moiety-containing diamine derivatives as factor Xa inhibitors
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1156 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058715	A1	20040715	WO 2003-JP16783	20031225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2511493	AA	20040715	CA 2003-2511493	20031225
AU 2003292828	A1	20040722	AU 2003-292828	20031225
EP 1577301	A1	20050921	EP 2003-768266	20031225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1751025	A	20060322	CN 2003-80109746	20031225
US 2006252837	A1	20061109	US 2006-540259	20060605
PRIORITY APPLN. INFO.:			JP 2002-373787 A	20021225
			JP 2003-379163 A	20031107
			WO 2003-JP16783 W	20031225
OTHER SOURCE(S):	MARPAT 141:106461			

GI

I

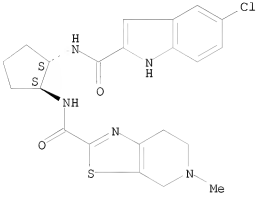
AB The title compds. Q1-Q2-T0-N(R1)-Q3-N(R2)-T1-Q4 [R1 and R2 represent each hydrogen, etc.; Q1 represents optionally substituted and saturated or unsatd. 5- to 6-membered cyclic hydrocarbyl, etc.; Q2 represents a single bond, etc.; Q3 represents I (wherein Q5 represent C1-8 alkylene, etc.; R3, R4 = H, alkyl, etc.; further detail on R3 and R4 is given); and T0 and T1 represent each carbonyl, etc.; Q4 represents (un)substituted aryl, etc.] its salt, solvates thereof or N-oxides of the same are prepared These compds. are useful as preventives and/or remedies for cerebral infarction, cerebral embolism, myocardial infarction, angina, pulmonary infarction, pulmonary embolism, Burger's disease, multiorgan dysfunction syndrome (MODS), thrombosis in extracorporeal circulation and blood coagulation in blood collection, etc. Compds. of this invention in vitro showed IC50 values of 0.72 nM to 86 nM against human factor Xa.

IT 365993-88-0P 365994-28-1P 365994-29-2P
365994-32-7P 365994-36-1P 480447-05-0P
480447-06-1P 480447-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of heterocyclyl moiety-containing diamines as factor Xa inhibitors)

RN 365993-88-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

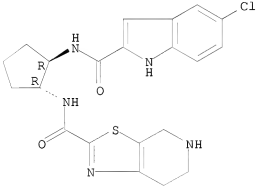
Relative stereochemistry.



● HCl

RN 365994-28-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

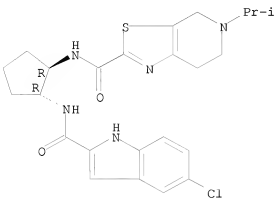
Relative stereochemistry.



● HCl

RN 365994-29-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

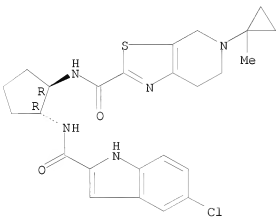
Relative stereochemistry.



● HCl

RN 365994-32-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylcyclopropyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

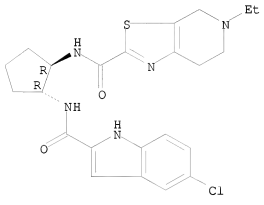


● HCl

RN 365994-36-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-

yl)carbonyl]amino]cyclopentyl]-5-ethyl-4,5,6,7-tetrahydro-,
monohydrochloride, rel- (9CI) (CA INDEX NAME)

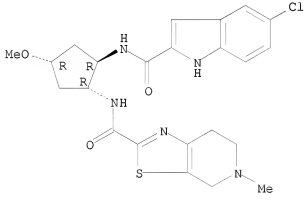
Relative stereochemistry.



● HCl

RN 480447-05-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

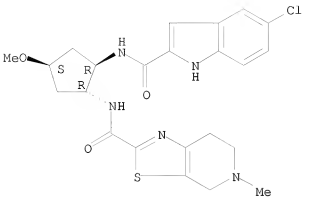
Relative stereochemistry.



● HCl

RN 480447-06-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

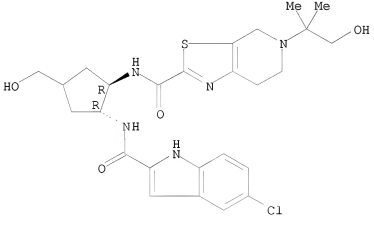
Relative stereochemistry.



● HCl

RN 480447-07-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

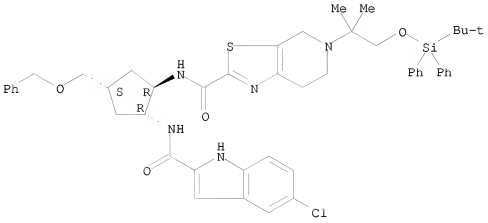


● HCl

IT 365998-51-2P 365998-52-3P 365998-53-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclyl moiety-containing diamines as factor Xa inhibitors)
RN 365998-51-2 HCAPLUS

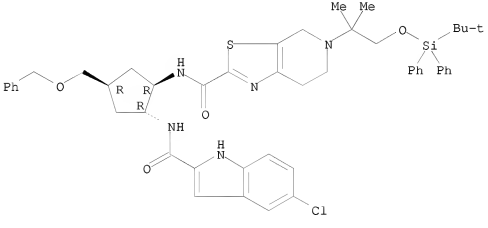
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



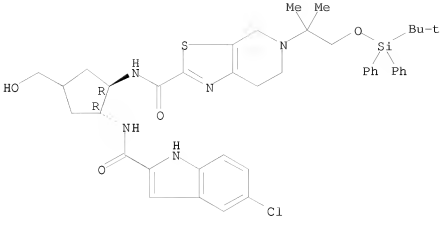
RN 365998-52-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365998-53-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

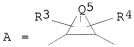
Relative stereochemistry.



L7 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:508525 HCAPLUS
DOCUMENT NUMBER: 139:85363
TITLE: Preparation of diamine derivatives as factor Xa inhibitors and anticoagulants, and their use for treatment of diseases
INVENTOR(S): Ota, Toshiharu; Komoritani, Satoshi; Yoshino, Toshiharu; Uoto, Koichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Shozo
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 284 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2003183286	A2	20030703	JP 2001-398959		20011228
PRIORITY APPLN. INFO.:			JP 2001-311909	A	20011009

OTHER SOURCE(S): MARPAT 139:85363
GI



AB The derivs. are Q1Q2T0NR1Q3NR2R1Q4 [Q1 = (substituted) 5- to 6-membered cyclic hydrocarbyl, (substituted) 5- to 7-membered heterocyclyl, etc; Q2 = single bond, (substituted) 5- to 6-membered cyclic hydrocarbylene, etc.; Q3 = A; Q4 = (substituted) aryl, (substituted) arylalkenyl, etc.; Q5 = C1-8 alkylene, C2-8 alkenylene, etc.; T0 = (thio)carbonyl; T1 = carbonyl,

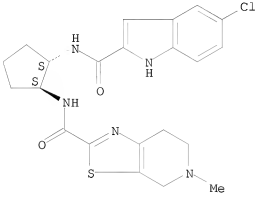
sulfonyl, etc.; R1, R2 = H, OH, alkyl, alkoxy; R3, R4 = H, OH, alkyl, etc.], their salts, solvates, or N-oxides. Thus, (±)-trans-N-[(5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]-1,2-cyclopentanediamine HCl salt was amidated with 5-chloroindole-2-carboxylic acid to give I which inhibited human factor Xa with IC50 86 nM in vitro.

IT 365993-88-0P 365994-28-1P 365994-29-2P
365994-32-7P 365994-36-1P 480447-05-0P
480447-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diamine derivs. as factor Xa inhibitors for anticoagulants)

RN 365993-88-0 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

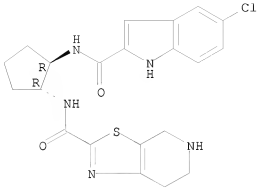


● HCl

RN 365994-28-1 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

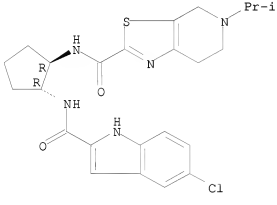
Relative stereochemistry.



● HCl

RN 365994-29-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

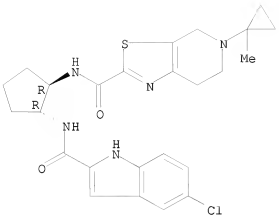
Relative stereochemistry.



● HCl

RN 365994-32-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylcyclopropyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

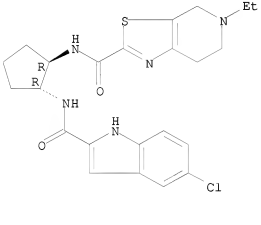
Relative stereochemistry.



● HCl

RN 365994-36-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-ethyl-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

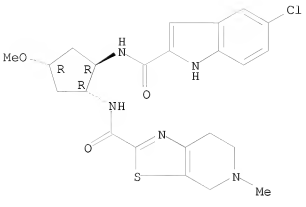
Relative stereochemistry.



● HCl

RN 480447-05-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

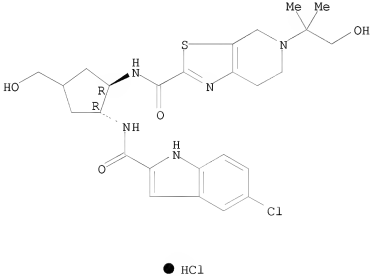
Relative stereochemistry.



● HCl

RN 480447-07-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

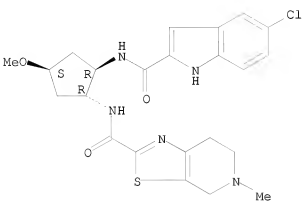
Relative stereochemistry.



● HCl

IT 480447-06-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of diamine derivs. as factor Xa inhibitors for anticoagulants)
RN 480447-06-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L7 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:261670 HCAPLUS
DOCUMENT NUMBER: 138:287666
TITLE: Preparation of heteroaryllactams as Factor Xa inhibitors
INVENTOR(S): Pinto, Donald; Quan, Mimi; Orwat, Michael; Li, Yun-Long; Han, Wei; Qiao, Jennifer; Lam, Patrick; Koch, Stephanie
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 441 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026652	A1	20030403	WO 2002-US29491	20020917
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2461202	AA	20030403	CA 2002-2461202	20020917
US 2003191115	A1	20031009	US 2002-245122	20020917
US 6967208	B2	20051122		
EP 1427415	A1	20040616	EP 2002-775843	20020917

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR	2002012726	A	20040803	BR 2002-12726	20020917
CN	1578660	A	20050209	CN 2002-821537	20020917
JP	2005507889	T2	20050324	JP 2003-530289	20020917
HU	200402463	A2	20050428	HU 2004-2463	20020917
ZA	2004002184	A	20050503	ZA 2004-2184	20040318
NO	2004001163	A	20040503	NO 2004-1163	20040319
US	2004220174	A1	20041104	US 2004-850587	20040520
US	6989391	B2	20060124		
US	2005124602	A1	20050609	US 2004-970781	20041021
US	7005435	B2	20060228		
US	2005171085	A1	20050804	US 2004-970807	20041021
US	6995172	B2	20060207		
US	2005261287	A1	20051124	US 2005-154972	20050616
US	2005267097	A1	20051201	US 2005-198801	20050805

PRIORITY APPLN. INFO.:	US 2001-324165P	P	20010921
	US 2002-402317P	P	20020809
	US 2002-245122	A3	20020917
	WO 2002-US29491	W	20020917
	US 2004-850587	A3	20040520
	US 2004-970807	A1	20041021

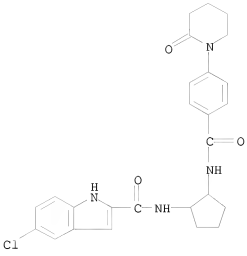
OTHER SOURCE(S): MARPAT 138:287666

AB P4PMM4 [M = 3-10 membered (substituted) (unsatd.) carbocyclyl, 4-10 membered heeterocyclyl; P = null, 5-7 membered (substituted) (unsatd.) carbocyclyl, heterocyclyl fused to ring M; l of P4, M4 = ZAB, the other = G1G; G = (benzo-, pyrido-, pyrimido-, pyrazino-, or pyridazino-fused) (substituted) (unsatd.) 5-6 membered (hetero)cyclyl; G1 = null, (CR3R3a)1-5, etc.; R3, R3a = H, Me, Et, Pr, Ph, PhCH2, etc.; Z = bond, (CR3R3e)1-4, etc.; R3e = H, SO2NHR3, SO2N(R3)2, COR3, (substituted) alkyl, alkenyl, alkynyl, etc.; A = (substituted) 3-10 membered carbocyclyl, 5-12 membered heterocyclyl; Z = XNQ; X = null, CO, SO, SO2, etc.; NQ = 4-8 membered mono- or bicyclic (substituted) (unsatd.) ring containing a CO or SO2 group adjacent to the N atom; with provisos], were prepared Thus, 6-(4-iodophenyl)-3-methoxy-1-(4-methoxyphenyl)-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one (preparation given), δ-valerolactam, K2CO3, and CuI were refluxed in Me2SO to give 15% 3-methoxy-1-(4-methoxyphenyl)-6-[4-(2-oxo-1-piperidinyl)phenyl]-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one. Several title compds. inhibited Factor Xa with IC50≤ 10 μM.

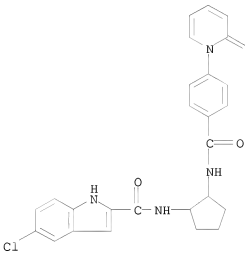
IT 503613-88-5P, 5-Chloro-N-(2-[[4-(2-oxopiperidin-1-yl)benzoyl]amino]cyclopentyl)-1H-indole-2-carboxamide 503613-89-6P, 5-Chloro-N-(2-[[4-(2-oxo-2H-pyridin-1-yl)benzoyl]amino]cyclopentyl)-1H-indole-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of heteroaryllactams as Factor Xa inhibitors)

RN 503613-88-5 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[4-(2-oxo-1-piperidinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)



RN 503613-89-6 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:154422 HCAPLUS
DOCUMENT NUMBER: 138:205076
TITLE: Preparation of diamines as factor Xa inhibitors
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji;

Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 847 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016302	A1	20030227	WO 2002-JP8119	20020808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2003000657	A1	20030103	WO 2002-JP2683	20020320
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WO 2003000680	A1	20030103	WO 2002-JP6141	20020620
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CA 2456841	AA	20030227	CA 2002-2456841	20020808
EP 1415992	A1	20040506	EP 2002-762760	20020808
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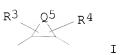
BR 2002011565	A	20040629	BR 2002-11565	20020808
ZA 2004000926	A	20050204	ZA 2004-926	20040204
NO 2004000557	A	20040402	NO 2004-557	20040206

PRIORITY APPLN. INFO.:			
JP 2001-243046	A	20010809	
JP 2001-311808	A	20011009	
JP 2001-398708	A	20011228	
WO 2002-JP2683	A	20020320	
WO 2002-JP6141	A	20020620	
JP 2001-187105	A	20010620	
WO 2002-JP8119	W	20020808	

OTHER SOURCE(S): MARPAT 138:205076

GI



I

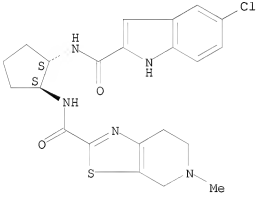
AB The title compds. Q1-Q2-T0-N(R1)-Q3-N(R2)-T1-Q4 [R1 and R2 represent each hydrogen, etc.; Q1 represents optionally substituted, saturated or unsatd. 5- or 6-membered hydrocarbyl, etc.; Q2 represents a single bond, etc.; Q3 represents I wherein Q5 represents C1-8 alkylene, etc.; R3, R4 represent each hydrogen, alkyl, etc.; Q4 represents (un)substituted aryl, etc.; and T0 and T1 represent each carbonyl, etc.] are prepared I are useful as antithrombotics, etc. Several compds. of this invention showed IC50 values of 1.2 nM to 3.5 nM against factor Xa.

IT 365993-88-0P 365994-28-1P 365994-29-2P
365994-32-7P 365994-36-1P 480447-05-0P
480447-06-1P 480447-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diamines as factor Xa inhibitors)

RN 365993-88-0 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

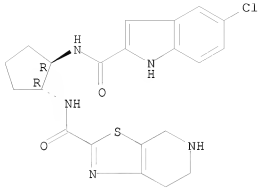


● HCl

RN 365994-28-1 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

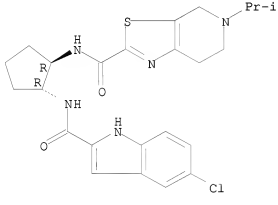
Relative stereochemistry.



● HCl

RN 365994-29-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

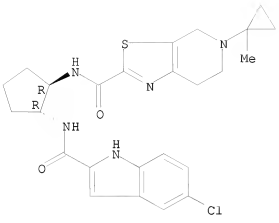
Relative stereochemistry.



● HCl

RN 365994-32-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylcyclopropyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

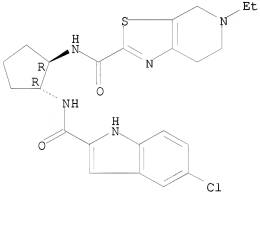
Relative stereochemistry.



● HCl

RN 365994-36-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-ethyl-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

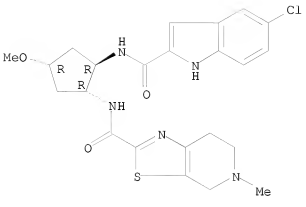
Relative stereochemistry.



● HCl

RN 480447-05-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

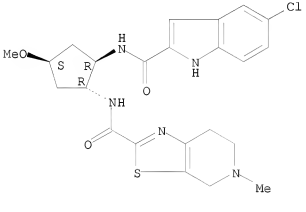
Relative stereochemistry.



● HCl

RN 480447-06-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

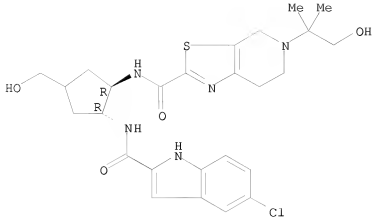
Relative stereochemistry.



● HCl

RN 480447-07-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

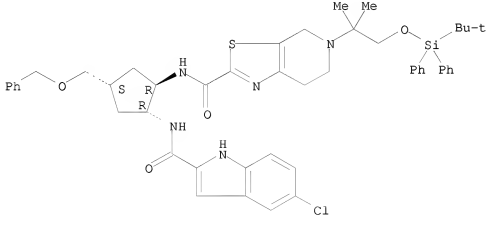
Relative stereochemistry.



● HCl

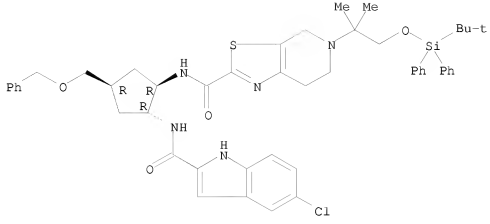
IT 365998-51-2P 365998-52-3P 365998-53-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diamines as factor Xa inhibitors)
RN 365998-51-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



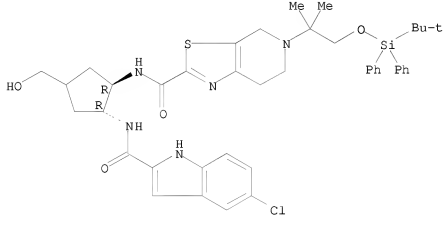
RN 365998-52-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365998-53-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5949 HCAPLUS
DOCUMENT NUMBER: 138:89801
TITLE: Preparation of heterocyclic moiety-containing diamine derivatives as FXa inhibitors
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 811 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000680	A1	20030103	WO 2002-JP6141	20020620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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WO 2003000657	A1	20030103	WO 2002-JP2683	20020320
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CN 1826333	A	20060830	CN 2002-816040	20020620
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NO 2003005634	A	20040218	NO 2003-5634	20031217
ZA 2004000926	A	20050204	ZA 2004-926	20040204
NO 2004000557	A	20040402	NO 2004-557	20040206
US 2005245565	A1	20051103	US 2004-481629	20040601

PRIORITY APPLN. INFO.:

JP 2001-187105	A	20010620
JP 2001-243046	A	20010809
JP 2001-311808	A	20011009
JP 2001-398708	A	20011228
WO 2002-JP2683	W	20020320
WO 2002-JP6141	W	20020620
WO 2002-JP8119	W	20020808

OTHER SOURCE(S): MARPAT 138:89801

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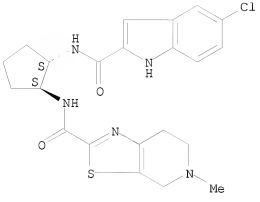
AB The title compds. Q1-Q2-T0-N(R1)-Q3-N(R2)-T1-Q4 [R1 and R2 represent each hydrogen, etc.; Q1 represents optionally substituted, saturated or unsatd. 5- or 6-membered hydrocarbyl, etc.; Q2 represents a single bond, etc.; Q3 represents I (wherein Q5 represents C1-8 alkylene, etc.; R3, R4 represent each hydrogen, etc.); Q4 represents (un)substituted aryl, etc.; and T0 and T1 represent each carbonyl, etc.] are prepared These compds. are useful as preventives and/or remedies for brain infarction, cerebral embolism, myocardial infarction, angina, thrombosis, etc. Compds. of this invention in vitro showed IC50 values of 1.4 nM to 92 nM against human FXa.

IT 365993-88-0P 365994-28-1P 365994-29-2P
365994-32-7P 365994-36-1P 480447-05-0P
480447-06-1P 480447-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic moiety-containing diamine derivs. as FXa inhibitors)

RN 365993-88-0 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

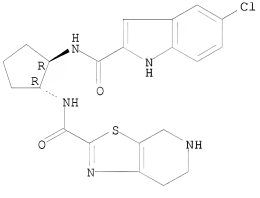
Relative stereochemistry.



● HCl

RN 365994-28-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

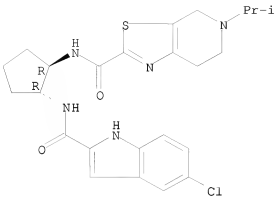
Relative stereochemistry.



● HCl

RN 365994-29-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

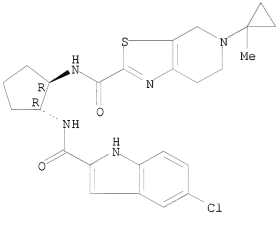
Relative stereochemistry.



● HCl

RN 365994-32-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylcyclopropyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

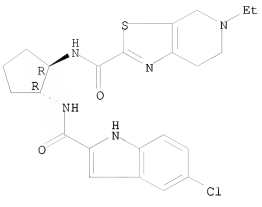
Relative stereochemistry.



● HCl

RN 365994-36-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-ethyl-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

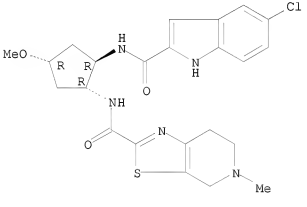
Relative stereochemistry.



● HCl

RN 480447-05-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

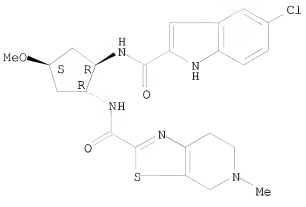
Relative stereochemistry.



● HCl

RN 480447-06-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

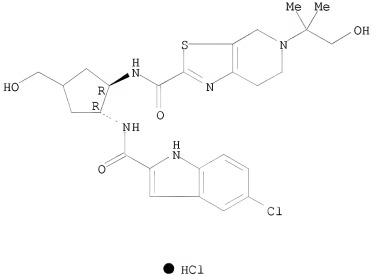
Relative stereochemistry.



● HCl

RN 480447-07-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

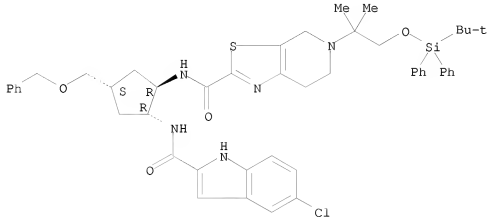


● HCl

IT 365998-51-2P 365998-52-3P 365998-53-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic moiety-containing diamine derivs. as FXa inhibitors)
RN 365998-51-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-

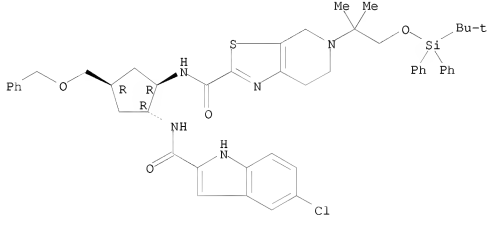
indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



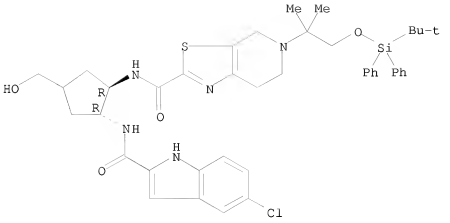
RN 365998-52-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365998-53-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5928 HCAPLUS
DOCUMENT NUMBER: 138:73271
TITLE: Preparation of N,N'-bis(heterocyclic acyl)cycloalkanediamine and heterocyclediamine derivatives as inhibitors of activated blood coagulation factor X (factor Xa)
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 788 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

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WO 2003000657	A1	20030103	WO 2002-JP2683	20020320
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EP 1405852 A1 20040407 EP 2002-743653 20020620

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WO 2003016302 A1 20030227 WO 2002-JP8119 20020808

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NE, SN, TD, TG

EP 1415992 A1 20040506 EP 2002-762760 20020808

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR 2002011565 A 20040629 BR 2002-11565 20020808

ZA 2003009866 A 20041220 ZA 2003-9866 20030130

NO 2003005634 A 20040218 NO 2003-5634 20031217

ZA 2004000926 A 20050204 ZA 2004-926 20040204

NO 2004000557 A 20040402 NO 2004-557 20040206

US 2005245565 A1 20051103 US 2004-481629 20040601

PRIORITY APPLN. INFO.: JP 2001-187105 A 20010620
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WO 2002-JP8119 W 20020808

OTHER SOURCE(S): MARPAT 138:73271

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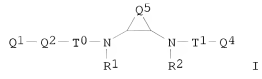

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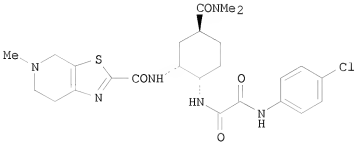


III

AB Diamine compds. represented by the following general formula [I; wherein R1, R2 = H, HO, alkoxy; Q1 = each (un)substituted and (un)saturated 5 or 6-membered cyclic hydrocarbyl, 5 to 7-membered heterocyclyl, or bicyclic or tricyclic fused hydrocarbyl or heterocyclyl; Q2 = a single bond, (un)substituted and (un)saturated bivalent cyclic hydrocarbon, 5 to 7-membered heterocycle, or bicyclic or tricyclic fused hydrocarbon or heterocyclic group; Q5 = C1-8 alkylene, C2-8 alkenylene, (CH2)mCH2-A-CH2(CH2)n (wherein m, n = an integer of 0-3); A = O, N, S, SO, SO2, NH, ONH, NHNH, SNH, SONH, SO2NH; R3 and R4 are groups substituted on C, N, or S in the ring containing Q5 and are selected from H,HO, alkyl, alkenyl, alkynyl, halo, haloalkyl, cyano, cyanoalkyl, NH2, aminoalkyl, N-alkylaminoalkyl, N,N-dialkylaminoalkyl, acyl, acylalkyl, (un)substituted acylaminoalkyl, etc.; Q4 = each (un)substituted aryl, arylalkenyl, arylalkynyl, heteroaryl, or heteroarylalkenyl, each (un)saturated and (un)saturated bicyclic or tricyclic fused hydrocarbyl or heterocyclyl; T0 = CO, thiocarbonyl; T1 = CO, SO2, CO-CO, N-(un)substituted CO-NR, C(:S)-CO-NR, CO-C(S)-NR, C(S)-C(:S)-NR (wherein R = H,HO, alkyl, alkoxy), etc.], salts thereof, solvates of the same, or N-oxides of the same are prepared The diamine compds. include N,N'-bis(heterocyclic acyl)-1,2-cyclopropanediamine, -1,2-cyclobutanediamine, 1,2-cyclopentanediamine, -1,2-cyclohexanediamine, 1,2-cycloheptanediamine, -1,2-cyclooctanediamine, -tetrahydro-3,4-furandiamine, -3,4-pyrrolidinediamine, -3,4-piperidinediamine, -tetrahydro-6-oxo-3,4-pyrandiamine, and -tetrahydro-3,4-thiopyrandiamine-1,1-dioxide derivs. These compds. are blood coagulation inhibitors and useful as preventives and/or remedies for thrombus or embolism including brain infarction, cerebral embolism, cardiac infarction, angina, pulmonary infarction, pulmonary embolism, Buerger's disease, deep venous thrombosis, disseminated intravascular coagulation syndrome, thrombosis following artificial flap/joint replacement, thrombosis and re-obstruction following blood flow reconstruction, systemic inflammatory reaction syndrome (SIRS), multiple organ dysfunction syndrome (MODS), thrombosis during external circulation or blood coagulation during blood collection. Thus, 288 mg 2-(4-chloroanilino)-2-oxoacetic acid Et ester was dissolved in 8.0 mL THF, treated with 46 mg LiOH and 1.0 mL H2O, stirred at room temperature for 2 h, concentrated in dryness under reduced pressure to give 292 mg crude

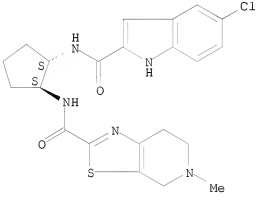
2-(4-chloroanilino)-2-oxoacetic acid lithium salt (II). II and N-[(1R,2S,5S)-2-amino-5-[(dimethylamino)carbonyl]cyclohexyl]-5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxamide (preparation given) were dissolved in 15 mL DMF and stirred with 164 mg 1-hydroxybenzotriazole hydrate and 251 mg 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temperature for 64.5 h to give a cyclohexanediamine derivative (III). III.HCl showed IC50 of 1.2 nM against human factor Xa.

IT 365993-88-0P 365994-28-1P 365994-29-2P
365994-32-7P 365994-36-1P 480447-05-0P
480447-06-1P 480447-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-bis(heterocyclic acyl)cycloalkanediamine and heterocyclediamine derivs. as factor Xa and blood coagulation inhibitors for prevention and treatment of thrombus and embolism)

RN 365993-88-0 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

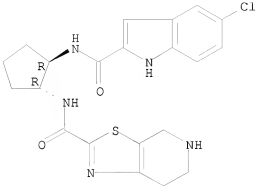


● HCl

RN 365994-28-1 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

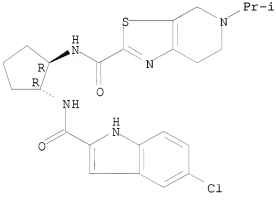
Relative stereochemistry.



● HCl

RN 365994-29-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

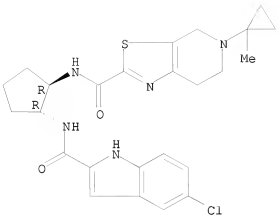
Relative stereochemistry.



● HCl

RN 365994-32-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylcyclopropyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

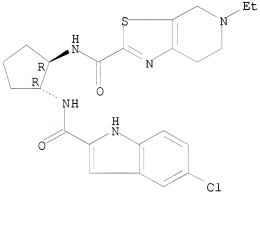
Relative stereochemistry.



● HCl

RN 365994-36-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-ethyl-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

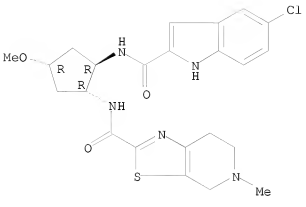
Relative stereochemistry.



● HCl

RN 480447-05-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

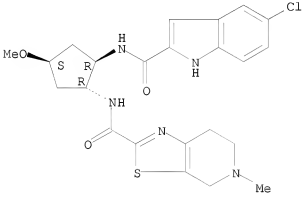
Relative stereochemistry.



● HCl

RN 480447-06-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methoxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

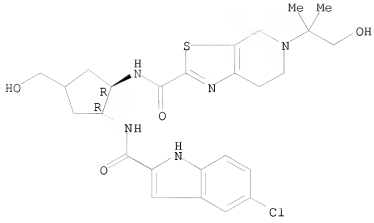
Relative stereochemistry.



● HCl

RN 480447-07-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



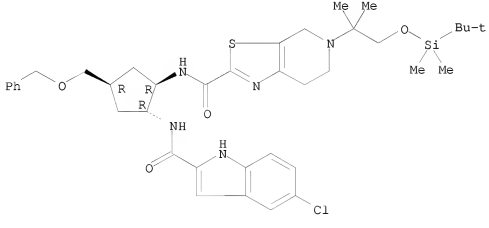
● HCl

IT 480452-51-5P 480452-52-6P 480452-53-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N,N'-bis(heterocyclic acyl)cycloalkanediamine and heterocyclediamine derivs. as factor Xa and blood coagulation inhibitors for prevention and treatment of thrombus and embolism)

RN 480452-51-5 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

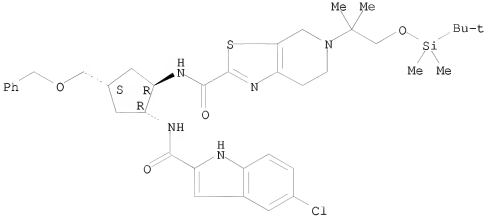


RN 480452-52-6 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-

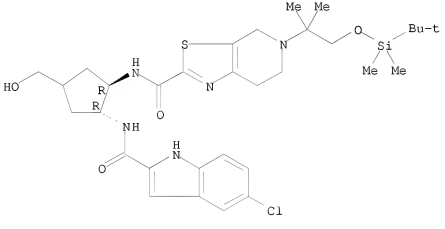
tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 480452-53-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-5-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:747751 HCAPLUS
DOCUMENT NUMBER: 135:303902
TITLE: Preparation of ethylenediamine and 1,2-cycloalkanediamine derivatives as inhibitors of activated blood coagulation factor X
INVENTOR(S): Yoshino, Toshiharu; Nagata, Tsutomu; Haginoya, Noriyasu; Yoshikawa, Kenji; Kanno, Hideyuki;

Nagamochi, Masatoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 481 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074774	A1	20011011	WO 2001-JP2945	20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405144	AA	20011011	CA 2001-2405144	20010405
AU 2001046835	A5	20011015	AU 2001-46835	20010405
EP 1270557	A1	20030102	EP 2001-919784	20010405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010052	A	20050510	BR 2001-10052	20010405
RU 2268259	C2	20060120	RU 2002-129354	20010405
ZA 2002007331	A	20030912	ZA 2002-7331	20020912
NO 2002004766	A	20021128	NO 2002-4766	20021003
US 2004122063	A1	20040624	US 2003-240725	20030730
US 2006004009	A1	20060105	US 2005-217837	20050902
PRIORITY APPLN. INFO.:			JP 2000-108047 A	20000405
			WO 2001-JP2945 W	20010405
			US 2003-240725 A3	20030730

OTHER SOURCE(S): MARPAT 135:303902

AB Compds. of the general formula (1): Q1-Q2-CO-N(R1)-Q3-N(R2)-T1-Q4 [R1, R2 = H, OH, alkyl, alkoxy; Q1 = (un)substituted and (un)saturated 5- to 6-membered cyclohydrocarbyl or heterocyclyl or bi- or tricyclic condensed heterocyclyl; Q2 = bond, linear or branched alkyl C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene, N-alkyl-(un)substituted NH or NH(CH2)m, (un)substituted and (un)saturated divalent 5- to 6-membered cyclic hydrocarbon or heterocycle or bi- or tricyclic condensed heterocycle group; Q3 = CR5R6CR7R8 (wherein R5, R6, R7, R8 = H, HO, halo, haloalkyl, cyano, cyanoalkyl, acyl, acylalkyl, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, hydroxyalkyl, CO2H, carboxyalkyl, etc.), Q (wherein Q5 = C1-8 alkylene or C2-8 alkenylene; R9 and R10 are substituted on the carbon atoms of the ring containing Q5 and represent H, OH, alkyl, alkenyl, alkynyl, halo, haloalkyl, cyano, cyanoalkyl, NH2, aminoalkyl, N-alkylaminoalkyl, etc.); Q4 = (un)substituted aryl, arylalkenyl, heteroaryl, or heteroarylalkenyl, (un)substituted and (un)saturated bi- or tricyclic condensed hydrocarbyl or condensed heterocyclyl; T1 = CO, SO2] are prepared Also claimed are drugs which contain these compds. and are efficacious for thrombosis and embolism. Thus, (±)-cis-N1 (or N2)-[(5-chloroindol-2-yl)carbonyl]-4,4-(1,2-ethylenedioxy)-1,2-cycloalkanediamine was condensed with 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and

1-hydroxybenzotriazole monohydrate in DMF at room temperature overnight to give (±)-cis-N1 (or N2)-[(5-chloroindol-2-yl)carbonyl]-4,4-(1,2-ethylenedioxy)-N2 (or N1)-[(5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]-1,2-cyclohexanediamine (II). II in vitro showed IC50 of 1.4 nM μg/mL against human FXa.

IT 365993-88-0P 365994-26-9P 365994-27-0P
365994-28-1P 365994-29-2P 365994-30-5P
365994-31-6P 365994-32-7P 365994-33-8P
365994-34-9P 365994-35-0P 365994-36-1P
365994-37-2P 365994-38-3P 365994-39-4P
365994-40-7P 365994-41-8P 365994-42-9P
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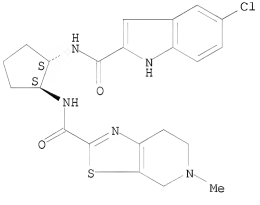
365994-49-6P 365994-50-9P 365994-51-0P
365994-52-1P 365994-53-2P 365994-54-3P
365994-55-4P 365994-56-5P 365994-57-6P
365994-58-7P 365994-59-8P 365994-60-1P
365994-61-2P 365994-62-3P 365994-63-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ethylenediamine and cycloalkanediamine derivs. as inhibitors of activated blood coagulation factor X for treatment of thrombosis and embolism)

RN 365993-88-0 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

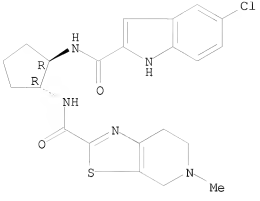


● HCl

RN 365994-26-9 HCAPLUS

CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

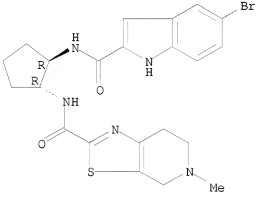
Absolute stereochemistry. Rotation (-).



● HCl

RN 365994-27-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-bromo-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

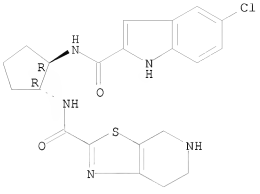
Relative stereochemistry.



● HCl

RN 365994-28-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

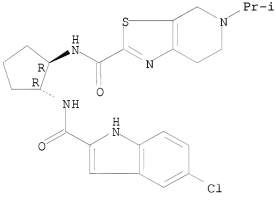
Relative stereochemistry.



● HCl

RN 365994-29-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

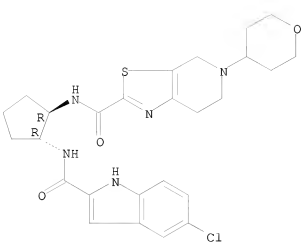
Relative stereochemistry.



● HCl

RN 365994-30-5 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(tetrahydro-2H-pyran-4-yl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

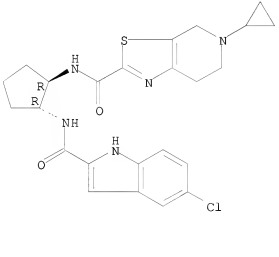
Relative stereochemistry.



● HCl

RN 365994-31-6 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-cyclopropyl-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

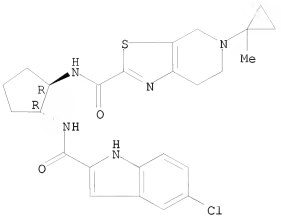
Relative stereochemistry.



● HCl

RN 365994-32-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylcyclopropyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

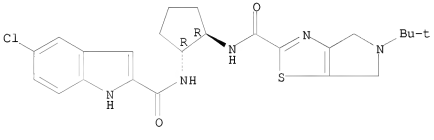
Relative stereochemistry.



● HCl

RN 365994-33-8 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2-[[[5-(1,1-dimethylethyl)-5,6-dihydro-4H-pyrrolo[3,4-d]thiazol-2-yl]carbonyl]amino]cyclopentyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

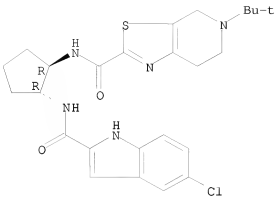
Relative stereochemistry.



● HCl

RN 365994-34-9 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-(1,1-dimethylethyl)-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

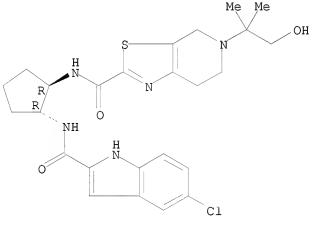
Relative stereochemistry.



● HCl

RN 365994-35-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

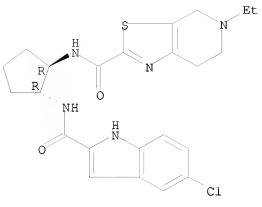
Relative stereochemistry.



● HCl

RN 365994-36-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-ethyl-4,5,6,7-tetrahydro-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

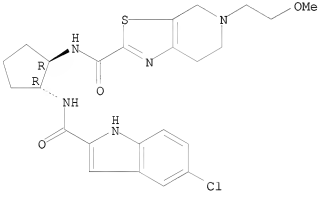
Relative stereochemistry.



● HCl

RN 365994-37-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-(2-methoxyethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

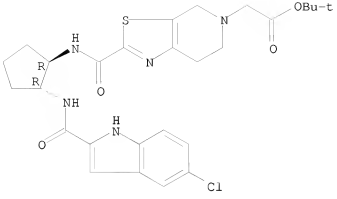
Relative stereochemistry.



● HCl

RN 365994-38-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-5(4H)-acetic acid, 2-[[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]amino]carbonyl]-6,7-dihydro-, 1,1-dimethylethyl ester, monohydrochloride, rel- (9CI) (CA INDEX NAME)

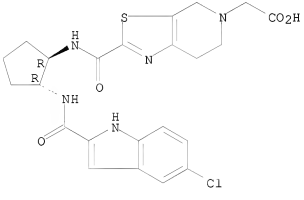
Relative stereochemistry.



● HCl

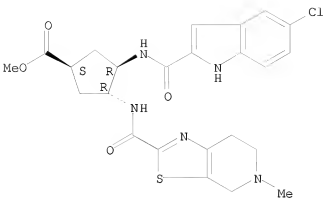
RN 365994-39-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-5(4H)-acetic acid, 2-[[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]amino]carbonyl]-6,7-dihydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365994-40-7 HCAPLUS
CN Cyclopentanecarboxylic acid, 3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]amino]-, methyl ester, monohydrochloride, (1R,3S,4S)-rel- (9CI) (CA INDEX NAME)

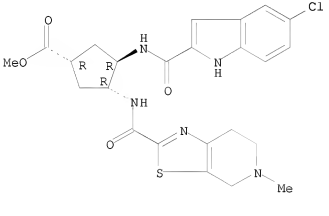
Relative stereochemistry.



● HCl

RN 365994-41-8 HCAPLUS
CN Cyclopentanecarboxylic acid, 3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]amino]-, methyl ester, monohydrochloride, (1R,3R,4R)-rel- (9CI) (CA INDEX NAME)

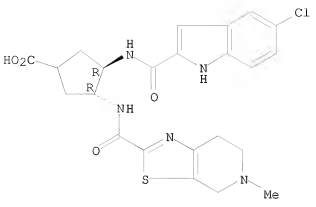
Relative stereochemistry.



● HCl

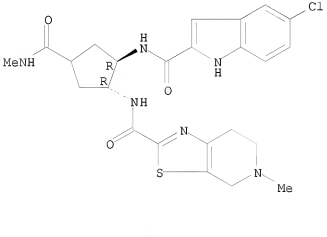
RN 365994-42-9 HCAPLUS
CN Cyclopentanecarboxylic acid, 3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]amino]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365994-43-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(methylamino)carbonyl]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

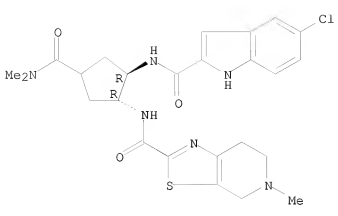
Relative stereochemistry.



● HCl

RN 365994-44-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(dimethylamino)carbonyl]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

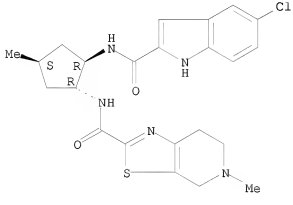
Relative stereochemistry.



● HCl

RN 365994-45-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methylcyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

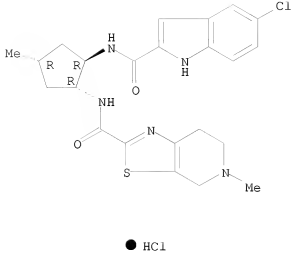
Relative stereochemistry.



● HCl

RN 365994-46-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-methylcyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

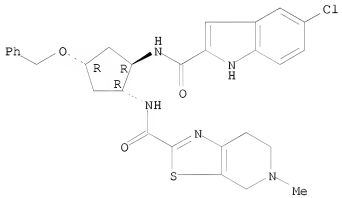
Relative stereochemistry.



● HCl

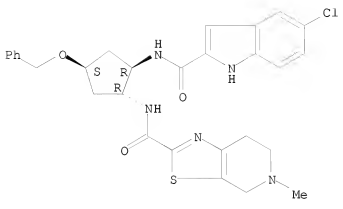
RN 365994-47-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(phenylmethoxy)cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



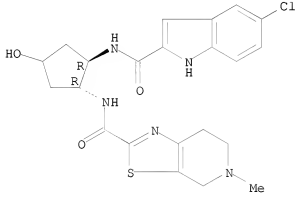
RN 365994-48-5 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(phenylmethoxy)cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365994-49-6 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-hydroxycyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

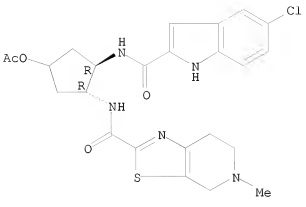
Relative stereochemistry.



● HCl

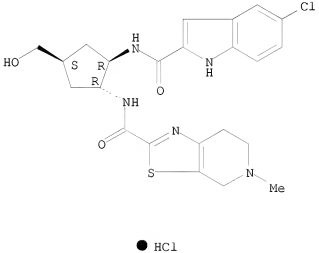
RN 365994-50-9 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-4-(acetyloxy)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365994-51-0 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

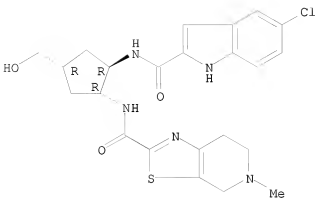
Relative stereochemistry.



● HCl

RN 365994-52-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

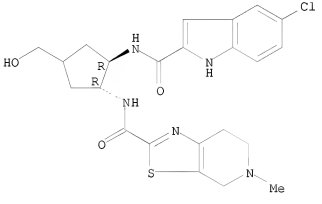
Relative stereochemistry.



● HCl

RN 365994-53-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

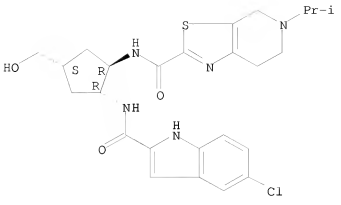
Relative stereochemistry.



● HCl

RN 365994-54-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

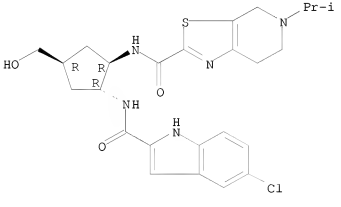
Relative stereochemistry.



● HCl

RN 365994-55-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

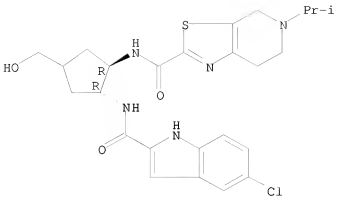
Relative stereochemistry.



● HCl

RN 365994-56-5 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

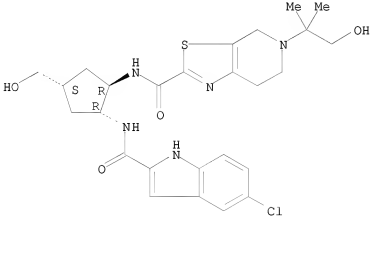
Relative stereochemistry.



● HCl

RN 365994-57-6 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

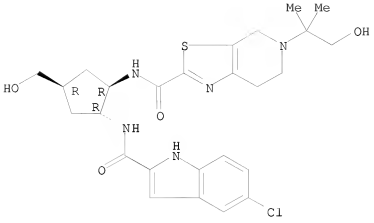
Relative stereochemistry.



● HCl

RN 365994-58-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(2-hydroxy-1,1-dimethylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

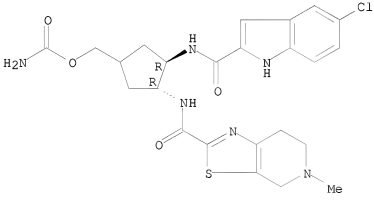
Relative stereochemistry.



● HCl

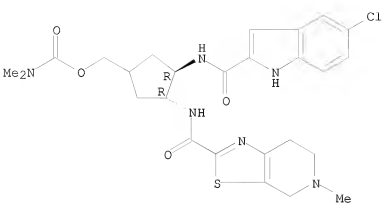
RN 365994-59-8 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-4-[[(aminocarbonyl)oxy]methyl]-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



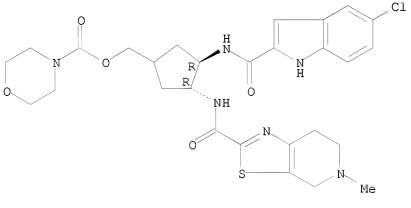
RN 365994-60-1 HCAPLUS
CN Carbamic acid, dimethyl-, [(3R,4R)-3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]amino]cyclopentyl]methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



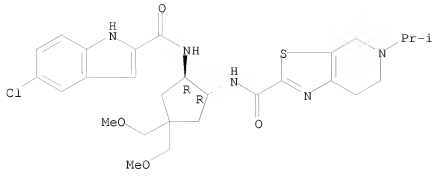
RN 365994-61-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, [(3R,4R)-3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]amino]cyclopentyl]methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365994-62-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4,4-bis(methoxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

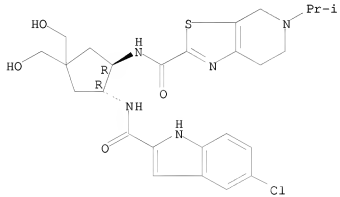
Relative stereochemistry.



● HCl

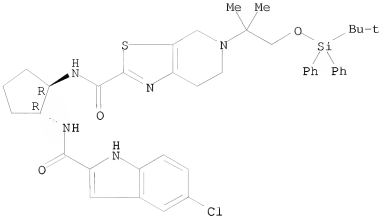
RN 365994-63-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4,4-bis(hydroxymethyl)cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



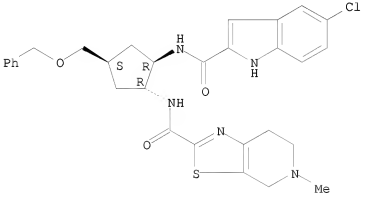
IT 365998-45-4P 365998-47-6P 365998-48-7P
365998-49-8P 365998-50-1P 365998-51-2P
365998-52-3P 365998-53-4P 365998-54-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ethylenediamine and cycloalkanediamine derivs. as inhibitors of activated blood coagulation factor X for treatment of thrombosis and embolism)
RN 365998-45-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



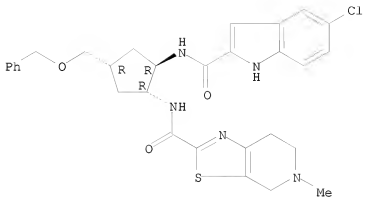
RN 365998-47-6 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



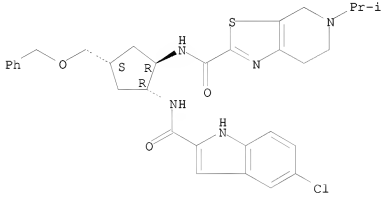
RN 365998-48-7 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-4,5,6,7-tetrahydro-5-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



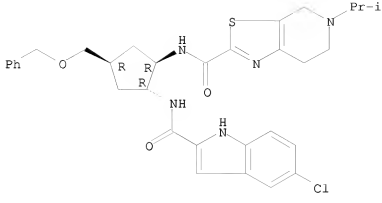
RN 365998-49-8 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



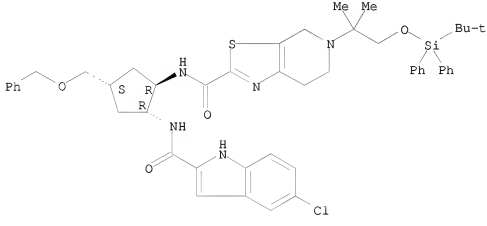
RN 365998-50-1 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



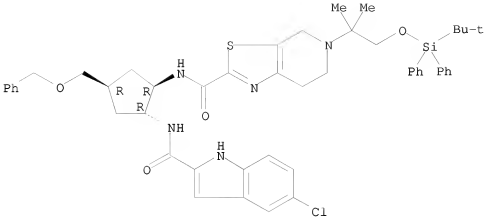
RN 365998-51-2 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



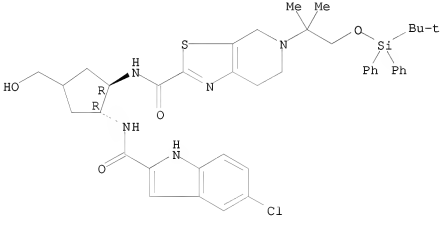
RN 365998-52-3 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R,4R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-[(phenylmethoxy)methyl]cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



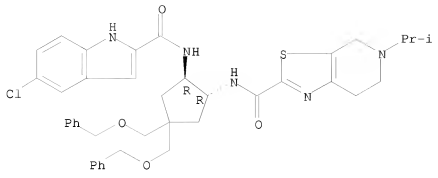
RN 365998-53-4 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4-(hydroxymethyl)cyclopentyl]-5-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1,1-dimethylethyl]-4,5,6,7-tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 365998-54-5 HCAPLUS
CN Thiazolo[5,4-c]pyridine-2-carboxamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-4,4-bis[(phenylmethoxy)methyl]cyclopentyl]-4,5,6,7-tetrahydro-5-(1-methylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 104 THERE ARE 104 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l8 ibib abs hitstr tot

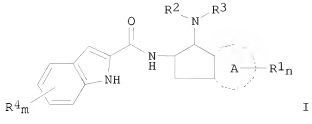
L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2005:216668 HCAPLUS
DOCUMENT NUMBER:	142:297984
TITLE:	Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors
INVENTOR(S):	Bennett, Stuart Norman Lile; Simpson, Iain
PATENT ASSIGNEE(S):	Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:	PCT Int. Appl., 58 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

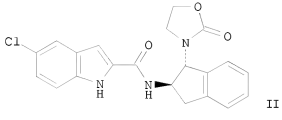
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020985	A1	20050310	WO 2004-GB3620	20040825
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2003-20242	A 20030829
			GB 2004-1800	A 20040128

OTHER SOURCE(S): MARPAT 142:297984

GI



I



II

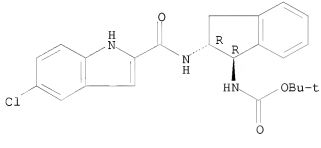
AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; m = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2R3 = (un)substituted heterocyclic ring; R4 = independently halo, OH, carboxy, etc.; with a proviso; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors (no data). For example, II was given in a multi-step synthesis starting from 5-chloroindole-2-carboxylic acid. I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity (no data).

IT 597555-50-5P 847658-36-0P 847658-37-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-indenyl indole-2-carboxamide derivs. as glycogen phosphorylase inhibitors)

RN 597555-50-5 HCAPLUS

CN Carbamic acid, [(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

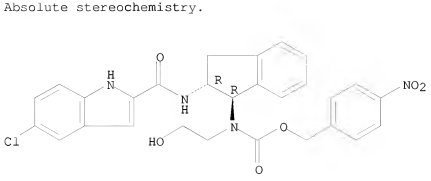


RN 847658-36-0 HCAPLUS

CN Carbamic acid, [(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl](2-hydroxyethyl)-, (4-nitrophenyl)methyl ester (9CI)

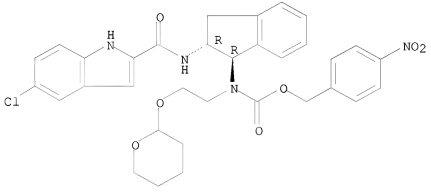
(CA INDEX NAME)

Absolute stereochemistry.



RN 847658-37-1 HCAPLUS
CN Carbamic acid, [(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl][2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

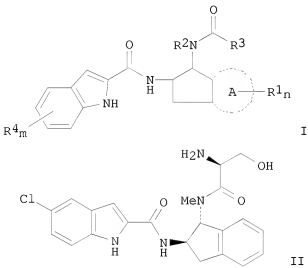
L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:182625 HCAPLUS
DOCUMENT NUMBER: 142:261398
TITLE: Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors
INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain; Whittamore, Paul Robert Owen
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005019172	A1	20050303	WO 2004-GB3552	20040818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1660448	A1	20060531	EP 2004-801875	20040818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006199966	A1	20060907	US 2006-567798	20060209
PRIORITY APPLN. INFO.:			GB 2003-19690 A	20030822
			WO 2004-GB3552 W	20040818

OTHER SOURCE(S): MARPAT 142:261398

GI



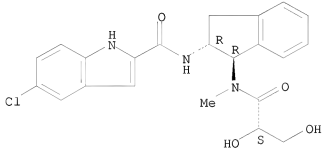
I

II

AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; m = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2, R3 = independently (halo)alkyl, CF3, hydroxyalkyl, etc.; R4 = independently halo, OH, carboxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors. For example, II•HCl was given in a multi-step synthesis starting from 5-chloroindole-2-carboxylic acid. II showed 173 μM thermodn. solubility and plasma protein binding activity with Ki value of 0.5 μM. Thus, I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease

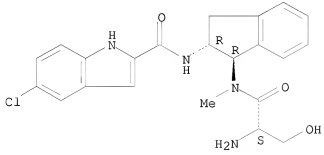
states associated with increased glycogen phosphorylase activity.
IT 846542-52-7P 846542-53-8P 846542-54-9P
846542-55-0P 846542-56-1P 846542-57-2P
846542-58-3P 846542-59-4P 846542-60-7P
846542-61-8P 846542-62-9P 846542-63-0P
846542-64-1P 846542-65-2P 846542-67-4P
846542-68-5P 846542-69-6P 846542-70-9P
846542-71-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole-2-carboxamide derivs. as glycogen phosphorylase inhibitors)
RN 846542-52-7 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[[(2S)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-53-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[(2S)-2-amino-3-hydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

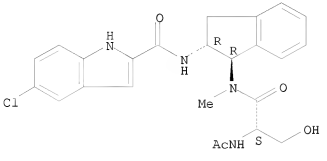


● HCl

RN 846542-54-9 HCAPLUS

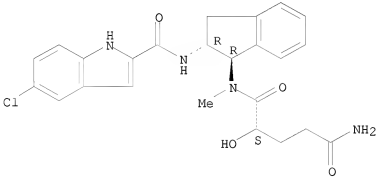
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[(2S)-2-(acetylamino)-3-hydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



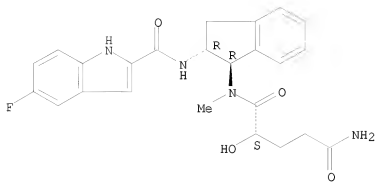
RN 846542-55-0 HCAPLUS
CN Pentanediamide, N1-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



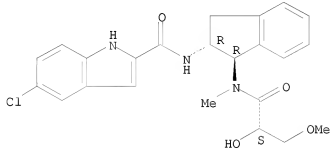
RN 846542-56-1 HCAPLUS
CN Pentanediamide, N1-[(1R,2R)-2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



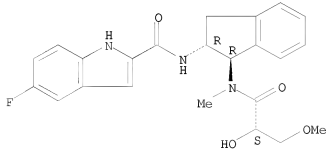
RN 846542-57-2 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-3-methoxy-1-oxopropyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-58-3 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-3-methoxy-1-oxopropyl]methylamino]-1H-inden-2-yl]-5-fluoro- (9CI) (CA INDEX NAME)

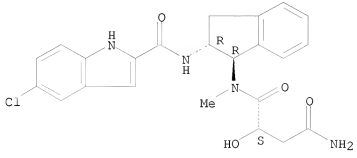
Absolute stereochemistry.



RN 846542-59-4 HCAPLUS

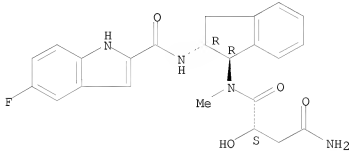
CN Butanediamide, N1-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



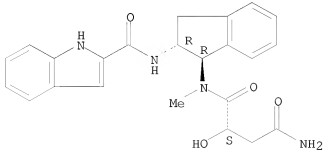
RN 846542-60-7 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-61-8 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2,3-dihydro-2-[(1H-indol-2-ylcarbonyl)amino]-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

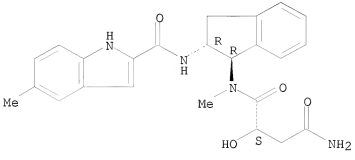
Absolute stereochemistry.



RN 846542-62-9 HCAPLUS

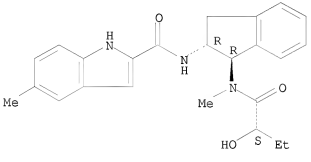
CN Butanediamide, N1-[(1R,2R)-2,3-dihydro-2-[[(5-methyl-1H-indol-2-yl)carbonyl]amino]-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



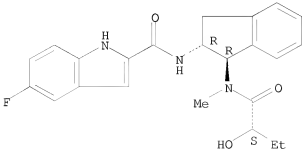
RN 846542-63-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



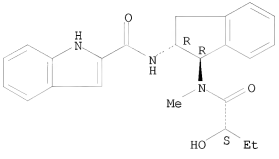
RN 846542-64-1 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



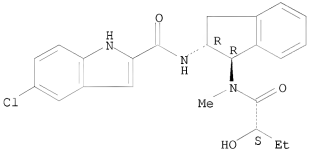
RN 846542-65-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



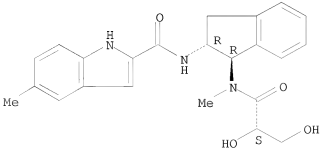
RN 846542-67-4 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



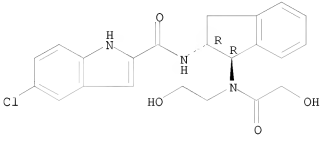
RN 846542-68-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[(2S)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



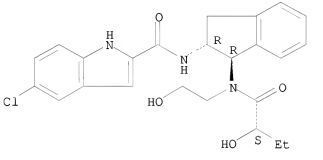
RN 846542-69-6 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)(2-hydroxyethyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



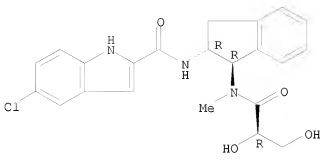
RN 846542-70-9 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(2-hydroxyethyl)[(2S)-2-hydroxy-1-oxobutyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-71-0 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[[(2R)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

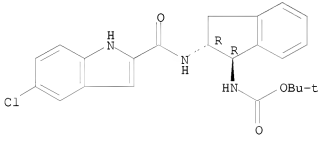


IT 597555-50-5P 846542-72-1P 846542-74-3P
846542-78-7P 846542-79-8P 846542-80-1P
846542-81-2P 846542-82-3P 846542-83-4P
846542-84-5P 846542-85-6P 846542-88-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole-2-carboxamide derivs. as glycogen phosphorylase inhibitors)

RN 597555-50-5 HCAPLUS

CN Carbamic acid, [(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

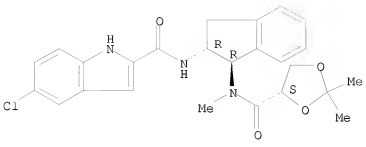
Absolute stereochemistry.



RN 846542-72-1 HCAPLUS

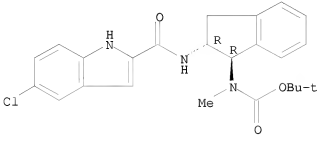
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



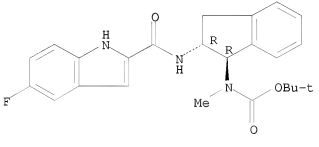
RN 846542-74-3 HCAPLUS
CN Carbamic acid, [(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



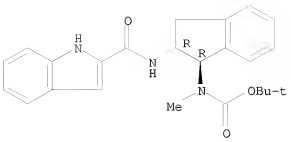
RN 846542-78-7 HCAPLUS
CN Carbamic acid, [(1R,2R)-2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



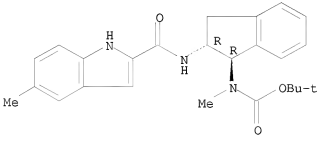
RN 846542-79-8 HCAPLUS
CN Carbamic acid, [(1R,2R)-2,3-dihydro-2-[(1H-indol-2-ylcarbonyl)amino]-1H-inden-1-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



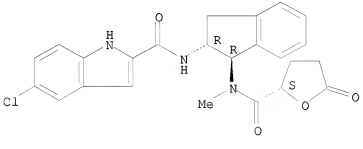
RN 846542-80-1 HCAPLUS
CN Carbamic acid, [(1R,2R)-2,3-dihydro-2-[[(5-methyl-1H-indol-2-yl)carbonyl]amino]-1H-inden-1-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



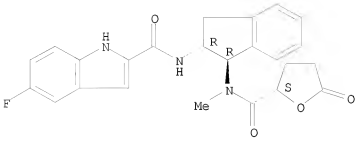
RN 846542-81-2 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[methyl[[(2S)-tetrahydro-5-oxo-2-furanyl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



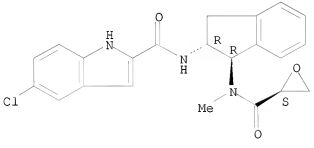
RN 846542-82-3 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[methyl[[(2S)-tetrahydro-5-oxo-2-furanyl]carbonyl]amino]-1H-inden-2-yl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



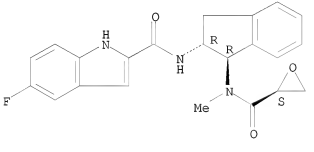
RN 846542-83-4 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[methyl[(2S)-oxiranylcarbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



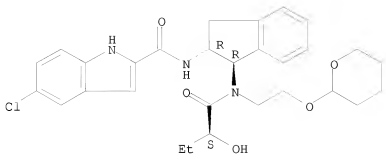
RN 846542-84-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[methyl[(2S)-oxiranylcarbonyl]amino]-1H-inden-2-yl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



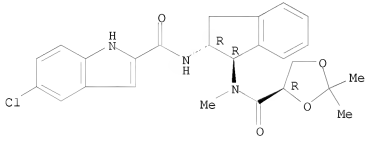
RN 846542-85-6 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl][2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-88-9 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719447 HCAPLUS
DOCUMENT NUMBER: 139:245895
TITLE: Preparation of indolamide derivatives that possess glycogen phosphorylase inhibitory activity
INVENTOR(S): Whittamore, Paul Robert Owen; Bennett, Stuart Norman Lile; Simpson, Iain
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074484	A1	20030912	WO 2003-GB883	20030304

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2477717	AA	20030912	CA 2003-2477717		20030304
AU 2003216988	A1	20030916	AU 2003-216988		20030304
BR 2003008144	A	20041207	BR 2003-8144		20030304
EP 1483240	A1	20041208	EP 2003-712310		20030304
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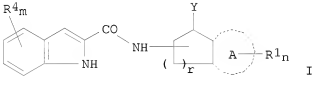
CN 1639120	A	20050713	CN 2003-805309		20030304
JP 2005524667	T2	20050818	JP 2003-572954		20030304
NZ 534683	A	20060224	NZ 2003-534683		20030304
ZA 2004006681	A	20050922	ZA 2004-6681		20040823
US 7138415	B2	20061121	US 2004-506554		20040901
NO 2004004032	A	20041005	NO 2004-4032		20040924
PRIORITY APPLN. INFO.:			GB 2002-5176	A	20020306
			WO 2003-GB883	W	20030304

OTHER SOURCE(S): MARPAT 139:245895

GI



I

AB Heterocyclic amides of formula (I; 5-chloro-2-[N-(1-hydroxyindan-2-yl)carbamoyl]indole; A is phenylene or heteroarylene; m is 0, 1 or 2; n is 0, 1 or 2; R1 = for example halo, nitro, cyano, hydroxy, carboxy; r is 1 or 2; Y is -NR2R3 or -OR3; R2 and R3 = for example H, hydroxy, aryl, heterocyclyl and C1-4 alkyl((un)substituted by 1 or 2 R8 groups); R4 = for example H, halo, nitro, cyano, hydroxy, C1-4 alkyl, and C1-4 alkanoyl; R8 = for example hydroxy, -COCOOR9, -C(O)N(R9)(R10), -NHC(O)R9 , (R9)(R10)N- and -COOR9; R9 and R10 = for example H, hydroxy, C1-4 alkyl((un)substituted by 1 or 2 R13); R13 = hydroxy, halo, trihalomethyl and C1-4 alkoxy) or a pharmaceutically acceptable salt or prodrug thereof are claimed. They possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity, e.g. type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, obesity. Inhibitory activity (IC50) of I in the direction of glycogen synthesis and on glycogen degradation were measure and are generally 100 μM to 1 nM; 7.4 μM for 5-chloro-N-[(1R,2R)-1-[[[(2-hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide in the latter assay. Processes for the manufacture of said heterocyclic amide derivs. and pharmaceutical compns. containing them are described. Thirty-seven example prepns. and/or characterization data for I and 11 for intermediates are included. For example, to prepare

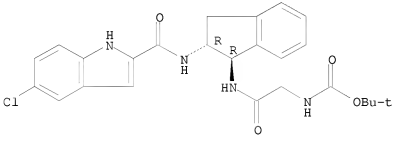
5-chloro-2-[N-(trans-1-hydroxyindan-2-yl)carbamoyl]indole, 5-chloro-1H-indole-2-carboxylic acid (0.67 mmol) was dissolved in CH2Cl2 (10 mL) containing DIPEA (1.19 mmol) and trans-2-aminoindan-1-ol (0.67 mmol) and HATU (0.67 mmol); the reaction mixture was stirred at room temperature for .apprx.18 h; workup gave 100 % of the desired compound To prepare trans-2-aminoindan-1-ol, isoamyl nitrite (108 mmol) was added to a solution of indan-1,2-dione (90 mmol) in MeOH (380 mL) at 45° followed by concentrated HCl (12 mL) dropwise over 5 min; the reaction mixture was stirred for 3 h at room temperature; workup gave indan-1,2-dione-2-oxime (43%), which (39 mmol) in EtOH (470 mL) and 4M HCl/dioxane (36 mL) was hydrogenated at room temperature and 40 psi; workup gave 86 % of the trans-2-aminoindan-1-ol.

IT 597554-89-7P, 5-Chloro-N-[(1R,2R)-1-(tert-butoxycarbonylaminoacetamido)-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597554-91-1P, N-[(1R,2R)-1-[[(S)-3-[(tert-Butoxycarbonyl)amino]-4-oxopentanoyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-37-8P, N-[(1R,2R)-1-[N-(2-Acetoxyacetyl)-N-(carboxymethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of indolamide derivs. that possess glycogen phosphorylase inhibitory activity)

RN 597554-89-7 HCAPLUS

CN Carbamic acid, [2-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

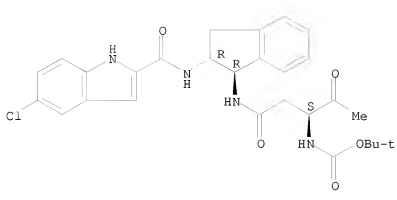
Absolute stereochemistry.



RN 597554-91-1 HCAPLUS

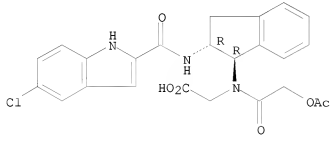
CN Carbamic acid, [(1S)-1-acetyl-3-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597555-37-8 HCAPLUS
CN Glycine, N-[(acetyloxy)acetyl]-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

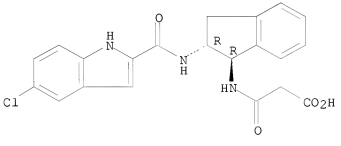


IT 597554-79-5P, N-[(1R*,2R*)-1-[(2-Carboxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597554-83-1P, 5-Chloro-N-[(1R,2R)-1-[(3-methoxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597554-87-5P, N-[(1R,2R)-1-(Acetylamino)-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597554-93-3P, N-[(1R,2R)-1-[(2-Carbamoylacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597554-95-5P, N-[(1R,2R)-1-[(2-Carboxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597554-97-7P, 5-Chloro-N-[(1R,2R)-1-[(hydroxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597554-98-8P, 5-Chloro-N-[(1R,2R)-1-[[3-hydroxy-2-(hydroxymethyl)propanoyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-00-5P, N-[(1R,2R)-1-[((3R)-3-Amino-3-carbamoylpropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-01-6P, N-[(1R,2R)-1-[((3R)-3-Amino-3-carbamoylpropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide trifluoroacetate 597555-02-7P 597555-03-8P, N-[(1R,2R)-1-[(Aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide trifluoroacetate 597555-08-3P, 5-Chloro-N-[1-[(hydroxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-11-8P, 5-Chloro-N-[(1R,2R)-1-[[[(2-hydroxyethyl)(methyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-12-9P, 5-Chloro-N-[(1R,2R)-1-[[[(2-

hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-13-0P, 5-Chloro-N-[(1R,2R)-1-[[(3-hydroxypiperidin-1-yl)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-14-1P, 5-Chloro-N-[(1R,2R)-1-[[(3-hydroxypyrrolidin-1-yl)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-15-2P, N-[(1R,2R)-1-[[[Bis(2-hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-18-5P, N-[1-[(Aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-19-6P, N-[1-[((3S)-3-Amino-3-carboxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-28-7P, N-[(1S,2S)-1-[Acetyl[(2-thienyl)methyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-30-1P, N-[(1S,2S)-1-[N-Acetyl-N-(carboxymethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-31-2P, N-[(1S,2S)-1-[N-Acetyl-N-[[2-(ethoxycarbonyl)cycloprop-1-yl]methyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-32-3P, N-[(1R,2R)-1-[N-Acetyl-N-(carboxymethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-35-6P, N-[(1R,2R)-1-[(Acetyl)(2-amino-2-oxoethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indolamide derivs. that possess glycogen phosphorylase inhibitory activity)

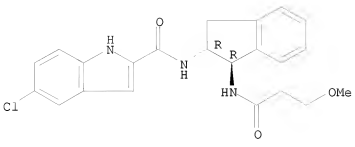
RN 597554-79-5 HCAPLUS
CN Propanoic acid, 3-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



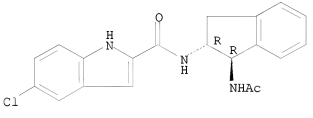
RN 597554-83-1 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(3-methoxy-1-oxopropyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



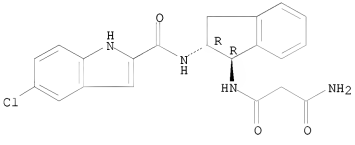
RN 597554-87-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-(acetylamino)-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



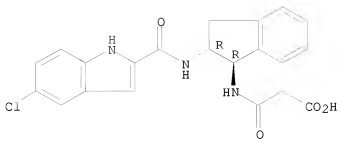
RN 597554-93-3 HCAPLUS
CN Propanediamide, N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



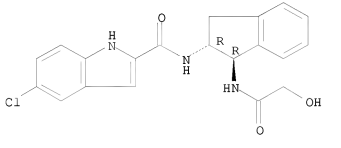
RN 597554-95-5 HCAPLUS
CN Propanoic acid, 3-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



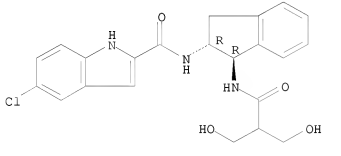
RN 597554-97-7 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



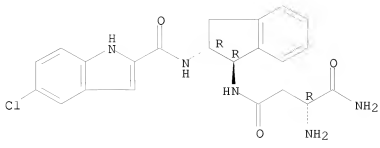
RN 597554-98-8 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[3-hydroxy-2-(hydroxymethyl)-1-oxopropyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597555-00-5 HCAPLUS
CN Butanediamide, 2-amino-N4-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

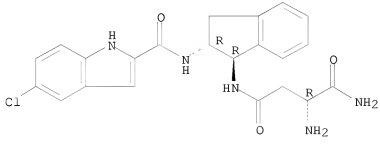


RN 597555-01-6 HCAPLUS
CN Butanediamide, 2-amino-N4-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, (2R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 597555-00-5
CMF C22 H22 Cl N5 O3

Absolute stereochemistry.

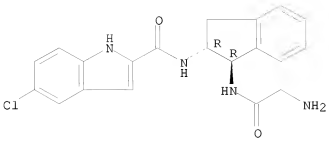


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 597555-02-7 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[(aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

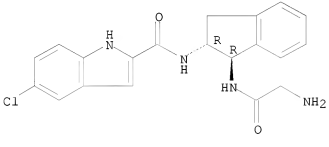


RN 597555-03-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[(aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 597555-02-7
CMF C20 H19 Cl N4 O2

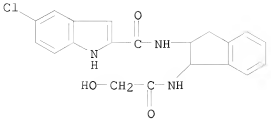
Absolute stereochemistry.



CM 2

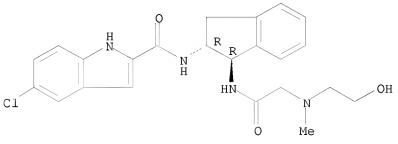
CRN 76-05-1
CMF C2 H F3 O2

RN 597555-08-3 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2,3-dihydro-1-[(hydroxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



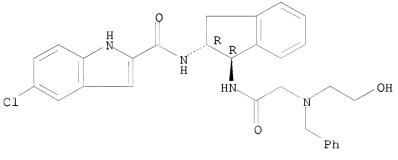
RN 597555-11-8 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)methylamino]acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



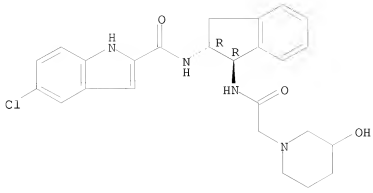
RN 597555-12-9 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



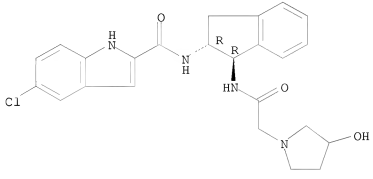
RN 597555-13-0 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(3-hydroxy-1-piperidinyl)acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



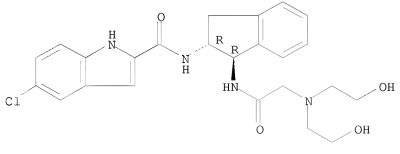
RN 597555-14-1 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(3-hydroxy-1-pyrrolidinyl)acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



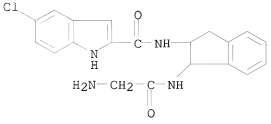
RN 597555-15-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[[bis(2-hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



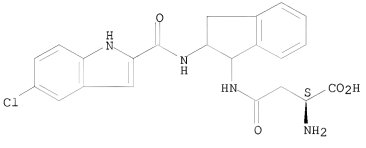
RN 597555-18-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(aminoacetyl)amino]-2,3-dihydro-1H-inden-2-

yl]-5-chloro- (9CI) (CA INDEX NAME)



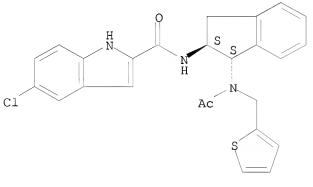
RN 597555-19-6 HCAPLUS
CN L-Asparagine, N-[2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



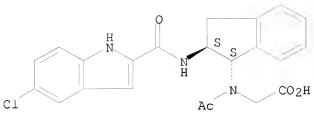
RN 597555-28-7 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1S,2S)-1-[acetyl(2-thienylmethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



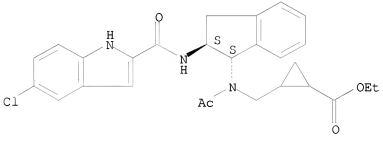
RN 597555-30-1 HCAPLUS
CN Glycine, N-acetyl-N-[(1S,2S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



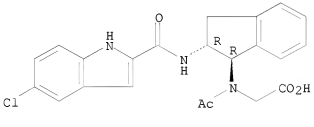
RN 597555-31-2 HCAPLUS
CN Cyclopropanecarboxylic acid, 2-[[acetyl[(1S,2S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



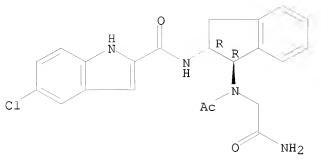
RN 597555-32-3 HCAPLUS
CN Glycine, N-acetyl-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



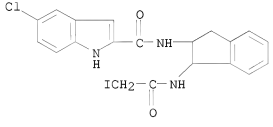
RN 597555-35-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[acetyl(2-amino-2-oxoethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



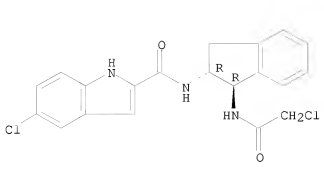
IT 597555-09-4P, 5-Chloro-N-[1-[(iodoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-10-7P, 5-Chloro-N-[(1R,2R)-1-[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-33-4P, 1,1-Dimethylethyl 2-[acetyl[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]acetate 597555-39-0P, 1,1-Dimethylethyl 2-[[(acetyloxy)acetyl][(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]acetate 597555-46-9P, 5-Chloro-2-[N-[1-[[N-(1,1-dimethylethoxy)carbonyl]amino]indan-2-yl]carbamoyl]indole 597555-50-5P, tert-Butyl [(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]carbamate 597555-53-8P, tert-Butyl [(1S,2S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolamide derivs. that possess glycogen phosphorylase inhibitory activity)

RN 597555-09-4 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2,3-dihydro-1-[(iodoacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



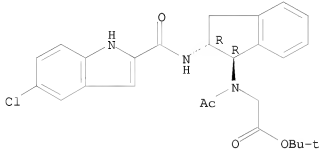
RN 597555-10-7 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



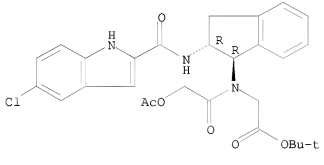
RN 597555-33-4 HCAPLUS
CN Glycine, N-acetyl-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

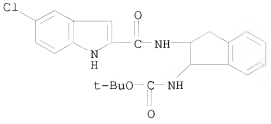


RN 597555-39-0 HCAPLUS
CN Glycine, N-[(acetyloxy)acetyl]-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

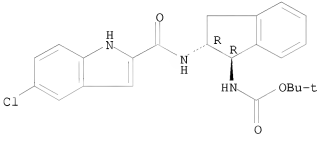


RN 597555-46-9 HCAPLUS
CN Carbamic acid, [2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



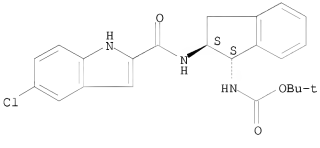
RN 597555-50-5 HCAPLUS
CN Carbamic acid, [(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597555-53-8 HCAPLUS
CN Carbamic acid, [(1S,2S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	68.91	404.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

11328929

	ENTRY	SESSION
CA SUBSCRIBER PRICE	-9.00	-9.00

STN INTERNATIONAL LOGOFF AT 11:48:50 ON 13 DEC 2006